

Claims

1. A sustained release form comprising
(a) one or more cationogenic polymers,
5 (b) α -lipoic acid or/and a derivative thereof and
(c) at least one acid different from (b).

10 2. A sustained release form as claimed in claim 1,
characterized in that component (b) comprises a
racemic α -lipoic acid, an enantiopure R-(+)- or
S-(-)- α -lipoic acid or mixtures thereof.

15 3. A sustained release form as claimed in claim 1,
characterized in that component (b) comprises a
racemic dihydrolipoic acid, an enantiopure (+)-
dihydrolipoic acid or (-)-dihydrolipoic acid or
mixtures thereof.

20 4. A sustained release form as claimed in any of
claims 1 to 3, characterized in that the α -lipoic
acid or dihydrolipoic acid is present in whole or
in part in the form of the salts thereof.

25 5. A sustained release form as claimed in claim 4,
characterized in that the salts of α -lipoic acid
or dihydrolipoic acid comprise cations from the
group of alkali metals or alkaline earth metals.

30 6. A sustained release form as claimed in claim 4,
characterized in that the salts of α -lipoic acid
or dihydrolipoic acid comprise cations from the
group of iron, copper, zinc, palladium, vanadium
and selenium.

35 7. A sustained release form as claimed in claim 4,
characterized in that the salts of α -lipoic acid
or dihydrolipoic acid comprise organic cations, in
particular open-chain or cyclic ammonium compounds

such as benzylammonium, diisopropylammonium, triethylammonium or cyclohexylammonium, and complex cations, where appropriate with a metallic central atom such as, for example, iron(III), chromium(III) or cobalt(II) and neutral, cationic or anionic ligands such as, for example, water, ammonia, carbonyl, cyano or nitroso, or oxo cations such as oxovanadium(V) (VO_2^+) or oxovanadium(IV) (VO^{2+}).

10 8. A sustained release form as claimed in any of claims 1 to 7, characterized in that component (a) is a cationogenic polymer selected from chitosan (poly-D-glucosamine) or chitosan salts (such as, for example, chitosan hydrochloride, acetate, glutamate), poly-L-lysine, basic lectins (glycoproteins, e.g. from extracts such as phytohemagglutinins), or other basic polypeptides, polysaccharides (such as, for example, hexosamine sugars) or biopolymers of plant, animal or synthetic origin, and any mixtures thereof.

15 9. A sustained release form as claimed in any of claims 1 to 8, characterized in that the proportion of cationogenic polymer is from 0.1 to 20 90% by weight, in particular 5 to 50% by weight, in each case based on the weight of components (a), (b) and (c) in the sustained release form.

25 30 10. A sustained release form as claimed in any of claims 1 to 9, characterized in that the α -lipoic acid component is present in proportions of from 0.1 to 99% by weight, in particular in proportions of from 20 to 90% by weight, in each case based on the weight of components (a), (b) and (c) in the sustained release form.

5 11. A sustained release form as claimed in any of claims 1 to 10, characterized in that the acid component (c) comprises an organic or inorganic Brønstedt acid, in particular acetic acid, hydrochloric acid or glutamic acid.

10 12. A sustained release form as claimed in any of claims 1 to 10, characterized in that the acid component (c) comprises an organic or inorganic Lewis acid, in particular carbon dioxide, Ca^{2+} or Fe^{2+} .

15 13. A sustained release form as claimed in any of claims 1 to 10, characterized in that the acid component (c) comprises a complex acid, in particular hexaaquoaluminum(III) $[\text{Al}(\text{H}_2\text{O})_6]^{3+}$ or hexacyanoiron(II) acid $[\text{H}_4(\text{Fe}(\text{CN})_6)]$.

20 14. A sustained release form as claimed in any of claims 1 to 10, characterized in that the acid component (c) comprises a polymeric acid, in particular polyphosphoric acid (PPA), an isopolyacid such as, for example, heptamolybdic acid $(\text{H}_6\text{Mo}_7\text{O}_{24})$, or a heteropolyacid such as, for example, dodecatungstophosphoric acid $(\text{H}_3[\text{PW}_{12}\text{O}_{40}])$.

25 15. A sustained release form as claimed in any of claims 1 to 14, characterized in that the acid component (c) is present in proportions of from 0.001 to 80% by weight, in particular in proportions of from 0.1 to 50% by weight and particularly preferably in proportions of from 1.0 to 25% by weight, in each case based on the weight of components (a), (b) and (c) in the sustained release form.

30 16. A sustained release form as claimed in any of claims 1 to 15, characterized in that it

5 additionally comprises formulation aids such as fillers, lubricants, flow aids, mold release agents, plasticizers, blowing agents, stabilizers, colorants, extenders, binders, disintegrants, wetting agents, glidants or non-stick agents.

10 17. A sustained release form as claimed in claim 16, characterized in that it comprises as fillers inorganic fillers such as, for example, oxides of magnesium, aluminum, silicon or titanium, microcrystalline cellulose and cellulose powder, starches and derivatives thereof (for example maltodextrins), lactose, mannitol and calcium disphosphate, as lubricants stearates of aluminum and calcium, talc or silicones, as flow aids magnesium stearate, colloidal silica, talc or Aerosil, as plasticizers low molecular weight polyalkylene oxides, low molecular weight organic plasticizers such as glycerol, pentaerythritol, glycerol monoacetate, diacetate or triacetate, propylene glycol, sorbitol or Na diethyl sulfon succinate, as colorants azo dyes, (in)organic pigments or natural coloring agents, or other conventional excipients such as sugar (alcohols), polymers, phosphates and surfactants, preferably in respective proportions between 0.02 to 50% by weight, based on the total weight.

20 18. A sustained release form as claimed in any of claims 1 to 17, characterized in that it is obtainable by

25 30 1) mixing component (a) with component (c), preferably in the ratio 1:2 to 1:4 by weight, then adding water to this mixture, and homogenizing the resulting mixture with the α -lipoic acid component (b) in the preferred mixture:component (b) ratio of 1:0.3-0.003 by weight,

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2) subjecting the homogenate from 1) to a wet granulation, and drying the granules at temperatures between 5 and 50°C, particularly preferably between 25 and 40°C, and

5 3) tabletting the dry granules.

10 19. The use of the sustained release form as claimed in any of claims 1 to 18 for producing a food supplement.

15 20. The use of the sustained release form as claimed in any of claims 1 to 18 for producing a medicament.

20 21. The use of the sustained release form as claimed in any of claims 1 to 18 for producing a cosmetic.

25 22. The use as claimed in any of claims 19 to 21 for oral, dermal, parenteral, rectal, vaginal or local (topical) administrations.

30 23. The use as claimed in any of claims 19 to 22 as gels, semisolid dosage forms or solid solutions or as base for the production thereof.

35 24. The use as claimed in any of claims 19 to 23 for improving the absorption of α-lipoic acid and derivatives thereof.

25 25. The use as claimed in any of claims 19 to 24 for prolonging the controlled delivery of active ingredient to a period of more than about 8 hours.

35 26. The use as claimed in any of claims 19 to 25 for increasing the bioavailability of α-lipoic acid or/and derivatives thereof.